

Title (en)  
A process for the preparation of isoserine derivatives

Title (de)  
Verfahren zur Herstellung von Isoserinderivaten

Title (fr)  
Procédé de préparation de dérivés d'isoserine

Publication  
**EP 2380871 A1 20111026 (EN)**

Application  
**EP 10160022 A 20100415**

Priority  
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Abstract (en)  
This invention relates to a "one pot" process for the preparation of isoserine derivatives in high diastereoselective way. The process according to the invention includes the steps of reacting a protected glycidic acid with imines to yield isoserines protected both at the -OH and at the -COOH groups, deprotection of the obtained intermediates to isoserines or isoserine 1-4C- alkyl esters. Pure threo derivatives as the main isomer are obtained.

IPC 8 full level  
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Citation (applicant)  
• MATTHIJS, S.; BUDZIKIEWICZ, H.; SCHAFFER, M.; WATHELET, B.; CORNELIS, P.: "Zeitschrift fuer Naturforschung, C", J. BIOSC., vol. 63, 2008, pages 8 - 12  
• CHEMICAL ABSTRACTS, 2008, Columbus, Ohio, US; abstract no. 149, 489268  
• IIZUKA, K.; KAMIJO, T.; HARADA, H.; AKAHANE, K.; KUBOTA, T.; UMEYAMA, H.; ISHIDA, T.; KISO Y., J. MED. CHEM., vol. 33, 1990, pages 2707 - 2714  
• BLOMGREN, H.; WASSERMAN, J., CANCER LETT., vol. 11, 1981, pages 303 - 308  
• OKINO, T.; MATSUDA, H.; MURAKAMI, M.; YAMAGUCHI, K., TETRAHEDRON LETT., vol. 34, 1993, pages 501 - 504  
• SHIMAMOTO, K., CHEM. REC., vol. 8, 2008, pages 182 - 199  
• BODKIN, J. A.; BACSKAY, G. B.; MCLEOD, M. D., ORG. BIOMOL. CHEM., vol. 6, 2008, pages 2544 - 2553  
• CARIQU, C. C. A.; CLARKSON, G. J.; SHIPMAN, M., J. ORG. CHEM., vol. 73, 2008, pages 9762 - 9764  
• CHEN, J.; KUZNETSOVA, L. V.; UNGREANU, I. M.; OJIMA, I: "ENANTIOSELECTIVE SYNTHESIS OF (BETA)-AMINO ACIDS (2ND ED)", 2005, JOHN WILEY & SONS, INC., pages: 447 - 476  
• SPLETSTOSER, J. T.; FLAHERTY, P. T.; HIMES, R. H.; GEORG, G. I, J. MED. CHEM., vol. 47, 2004, pages 6459 - 6465  
• MARTIN-ZAMORA, E.; FERRETE, A.; LLERA, J. M.; MUNOZ, J. M.; PAPPALARDO, R. R.; FERNANDEZ, R.; LASSALETTA, J. M., CHEM. EUR. J., vol. 10, 2004, pages 6111 - 6129  
• LIU, C.; TAMM, M.; NOTZEL, M. W.; DE MEIJERE, A.; SCHILLING, J. K.; KINGSTON, D. G. 1, TETRAHEDRON LETT., vol. 44, 2003, pages 2049 - 2052  
• BUNNAGE, E. M.; DAVIES, S. G.; GOODWIN, C. J., J. CHEM. SOC. PERKIN, vol. 1, 1994, pages 2385 - 2391  
• KE, B.; QIN, Y.; ZHAO, F.; QU, Y., BIOORG. MED. CHEM. LETT., vol. 18, 2008, pages 4783 - 4785  
• WANG, Y.; HE, Q.-F.; WANG, H.-W.; ZHOU, X.; HUANG, Z.-Y.; QIN, Y., J. ORG. CHEM., vol. 71, 2006, pages 1588 - 1591  
• HATA, S.; TOMIOKA, K., TETRAHEDRON, vol. 63, 2007, pages 8514 - 8520  
• HA, H.-J.; AHN, Y.-G.; WOO, J.-S.; LEE, G. S.; LEE, W. K., BULL. CHEM. SOC. JAP., vol. 74, 2001, pages 1667 - 1672  
• GUO, Z.; SHI, T.; JIANG, J.; YANG, L.; HU, W., ORG. BIOMOL. CHEM., vol. 7, 2009, pages 5028 - 5033  
• LEY, S. V.; DIEZ, E.; DIXON, D. J.; GUY, R. T.; MICHEL, P.; NATTRASS, G.L.; SHEPPARD, T. D., ORG. BIOMOL. CHEM., vol. 2, 2004, pages 3608 - 3617  
• FESKE, B. D.; KALUZNA, I. A.; STEWART, J. D., J. ORG. CHEM., vol. 70, 2005, pages 9654 - 9657  
• DIEZ, E.; DIXON, D. J.; LEY, S. V., ANGEW. CHEM. INT. ED., vol. 40, 2001, pages 2906 - 2909

Citation (search report)  
• [A] KISE N ET AL: "Diastereoselective Synthesis of 3-Amino-2-Hydroxyalkanoic Acid Derivatives", TETRAHEDRON LETTERS, ELSEVIER, AMSTERDAM, NL LNKD- DOI:10.1016/0040-4039(94)02369-M, vol. 36, no. 6, 6 February 1995 (1995-02-06), pages 909 - 912, XP004028703, ISSN: 0040-4039  
• [AD] WANG Y ET AL: "Highly diastereoselective enolate addition of O-protected [alpha]-hydroxyacetate to (SR)-tert-butanefulmylimines: Synthesis of taxol side chain", JOURNAL OF ORGANIC CHEMISTRY, vol. 71, no. 4, 17 February 2006 (2006-02-17), pages 1588 - 1591, XP002596680, ISSN: 0022-3263, DOI: 10.1021/JO052298N  
• [A] D.J. DIXON, S.V. LEY, A. POLARA, T. SHEPPARD: "Highly Diastereoselective Lithium Enolate Aldol Reactions of Butane-2,3-diacetal Desymmetrized Glycolic Acid and Deprotection to Enantiopure anti-2,3-Dihydroxy Esters", ORGANIC LETTERS, vol. 3, 2001, pages 3749 - 3752, XP002596681, DOI: 10.1021/ol016707n  
• [A] XIAOXIANG LIU ET AL: "PALLADIUM-CATALYZED ARYLATION OF TRIMETHYLSILYL ENOLATES OF ESTERS AND IMIDES. HIGH FUNCTIONAL GROUP TOLERANCE AND STEREORELECTIVE SYNTHESIS OF ALPHA-ARYL CARBOXYLIC ACID DERIVATIVES", JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, AMERICAN CHEMICAL SOCIETY, NEW YORK, US LNKD- DOI:10.1021/JA031544E, vol. 126, 4 March 2004 (2004-03-04), pages 5182 - 5191, XP002381176, ISSN: 0002-7863

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